FILE 'HOME' ENTERED AT 13:13:23 ON 30 MAR 2007

## => file registry

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Uploading C:\Program_Files\Stnexp\Queries\10607175_NEWa.str
 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 37
                                                              48 49 50
 1 2 3 4 5 6 24 25 26 27 28 29 30 31 32 33 34 35 36 38 39 40
 ring nodes :
 41 42 43 44 45 46 47
 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-37 16-17 17-18
 chain bonds :
 18-19 18-20 19-21 19-22 21-23 23-24 37-38 47-48 48-49 48-50
 1-2 1-6 2-3 3-4 4-5, 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-
  28-32 29-30 30-31 31-32 33-34 34-35 35-36 38-39 38-43 39-40 39-44 40-41
  40-47 41-42
  42-43 44-45 45-46 46-47
  5-7 7-8 11-12 14-15 15-16 15-37 17-18 18-19 19-21 19-22 21-23 47-48
  1-13. 2-14. 8-9. 9-10. 10-11. 16-17. 18-20. 23-24. 24-25. 24-28. 26-27. 37-38. 48-
  49
  1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-
   31-32 33-34 34-35 35-36 38-39 38-43 39-40 39-44 40-41 40-47 41-42 42-43
   44-45 45-46
   46-47
   isolated ring systems :
   containing 1 : 24 : 38 :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 31:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:CLASS 38:Atom 39:Atom 40:Atom 41:Atom 43:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:CLASS 49:CLASS 50:CLASS 40:Atom 4

## STRUCTURE UPLOADED

SAMPLE SEARCH INITIATED 13:13:56 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH

\*\*COMPLETE\*\*

PROJECTED ITERATIONS:

80 1 TO

PROJECTED ANSWERS:

0 O TO

L2

L1

O SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 13:14:00 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L3

1 SEA SSS FUL L1

=> d 13

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN L3

816430-05-4 REGISTRY RN

ED

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9H-CN

fluoren-9-ylmethoxy) carbonyl] amino] ethyl] amino] methyl] -3-methoxyphenoxy] -

(9CI) (CA INDEX NAME) C41 H43 N3 O8 S MF

SR

STN Files: CA, CAPLUS, USPATFULL LC

PAGE 2-A

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

# => file medline, caplus, wpids, uspatfull

=> s 13

SAMPLE SEARCH INITIATED 13:14:34 FILE 'WPIDS' 0 TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\*

BATCH

PROJECTED ITERATIONS:

0 TO 0

PROJECTED ANSWERS:

0 TO

2 L3 L4

=> d 14 1-2 ibib, abs, hitstr

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN L4

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR (S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DAMENIM NO	KTND	DATE	APPLICATION NO.	DATE
PATENT NO.  US 2004265949  PRIORITY APPLN. INFO.:	 A1	20041230	US 2003-607175 US 2003-607175	20030626 20030626
I ILI OLL I I I I I I I I I I I I I I I				

MARPAT 142:94138

OTHER SOURCE(S): The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a Thus, N-biotinyldouble or triple bond), and m, n are 0 or 1 with m +  $n \ge 1$ . N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

816430-05-4DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 CAPLUS RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy) carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN (CA INDEX NAME)

PAGE 2-A

ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE:	US 2004265949 US 2003-607175 Utility	A1 A1	20041230 20030626	(10)

APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE: FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

9 1

NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least AB one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-05-4DP, resin-bound IT

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 USPATFULL RN

CN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

### => file registry

Uploading C:\Program Files\Stnexp\Queries\10607175\_NEWb.str

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1 2 3 4 5 6 24 25 26 27 28 29 30 31 32 33 34 35 36 38 39 40
1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-38 16-17 17-18
18-19 18-20 19-21 19-22 21-23 23-24 39-45 41-44
1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-
28-32 29-30 30-31 31-32 33-34 34-35 35-36 38-39 38-43 39-40 40-41 41-42
 5-7 7-8 11-12 14-15 15-16 15-38 17-18 18-19 19-21 19-22 21-23
 1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 26-27 39-45 41-
 44
 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-
 31-32 33-34 34-35 35-36 38-39 38-43 39-40 40-41 41-42 42-43
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 containing 1 : 24 :
  1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
  11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
  Match level :
  21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom
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  42:Atom 43:Atom
  44:CLASS 45:CLASS
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   L5
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   FULL SCREEN SEARCH COMPLETED - 3 TO ITERATE
                                                             1 ANSWERS
                    3 ITERATIONS
   100.0% PROCESSED
   SEARCH TIME: 00.00.01
              1 SEA SSS FUL L5
    L7
    => file medline, caplus, wpids, uspatfull
    SAMPLE SEARCH INITIATED 13:17:04 FILE 'WPIDS'
                                      O TO ITERATE
    SAMPLE SCREEN SEARCH COMPLETED -
                                                              0 ANSWERS
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100.0% PROCESSED 0 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: BATCH

\*\*COMPLETE\*\* ONLINE

PROJECTED ITERATIONS:

\*\*COMPLETE\*\* OTO

PROJECTED ANSWERS:

n 0 TO 0

L8

2 L7

### => d 18 1-2 ibib, abs

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

				DATE
PATENT NO.	KIND	DATE	APPLICATION NO.	
		20041230	US 2003-607175	20030626
US 2004265949	A1		US 2003-607175	20030626
PRIORITY APPLN. INFO.:				

PRIORITY APP

MARPAT 142:94138 The invention relates to a solid-phase method for preparing C-terminally OTHER SOURCE(S): labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n  $\geq$  1. N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

NUMBER	KIND	DATE	
US 2004265949	A1	20041230	(10)
US 2003-607175	A1	20030626	

PATENT INFORMATION: APPLICATION INFO.:

Utility

DOCUMENT TYPE: FILE SEGMENT:

APPLICATION

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 LEGAL REPRESENTATIVE:

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

9 1

NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### => file registry

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chain nodes :
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                                                                    41
                                     18
```

7 8 9 10 11 12 13 14 15 16 17 52 · ring nodes : 5 6 24 25 26 27 28 29 30 31 32 33 34 36 35 1 2 3 4 47 48 49 50 44 45 46 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-38 16-17 17-18 18-19 18-20 19-21 19-22 21-23 23-24 38-39 38-41 39-40 43-51 48-52 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-28-32 29-30 30-31 31-32 33-34 34-35 35-36 40-42 40-46 42-43 43-44 44-45 45-46 45-47 46-50 47-48 48-49 49-50 5-7 7-8 11-12 14-15 15-16 15-38 17-18 18-19 19-21 19-22 21-23 38-41 40-40-46 42-43 43-44 43-51 44-45 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 39-26-27 38-39 40 48-52 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30

```
31-32 33-34 34-35 35-36 45-46 45-47 46-50 47-48 48-49 49-50
isolated ring systems :
containing 1 : 24 :
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS 20:CLASS

21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom

32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 38:CLASS 39:CLASS 40:Atom 41:CLASS

43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS

52:CLASS

L9 STRUCTURE UPLOADED

=> s 19 full

1 SEA SSS FUL L9 L10

=> file medline, caplus, wpids, uspatfull

=> s 110

SAMPLE SEARCH INITIATED 13:20:22 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

0 TO 0

PROJECTED ANSWERS:

0 O TO

2 L10 L11

=> d 111 1-2 ibib, abs

L11 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

UK

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DATE APPLICATION NO. DATE KIND PATENT NO. \_ \_ \_ \_

20030626 US 2003-607175 US 2004265949 A1 20041230 20030626 US 2003-607175 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 142:94138

The invention relates to a solid-phase method for preparing C-terminally AB labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a Thus, N-biotinyldouble or triple bond), and m, n are 0 or 1 with m +  $n \ge 1$ . N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

L11 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

KIND DATE NUMBER \_\_\_\_\_\_ A1 20041230 US 2004265949 A1 20030626 (10)

PATENT INFORMATION: APPLICATION INFO .:

US 2003-607175

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS:

1 EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### => file registry

Uploading C:\Program Files\Stnexp\Queries\10607175\_NEWgenus.str

chain nodes : 7 8 9 10 11 12 13 14 15 16 17 18 ring nodes : 1 2 3 4 5 6 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 16-17 17-18 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 17-18 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

#### L12 STRUCTURE UPLOADED

=> **d 112**L12 HAS NO ANSWERS
L12 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 112 full

FULL SEARCH INITIATED 13:22:22 FILE 'REGISTRY' 580 TO ITERATE FULL SCREEN SEARCH COMPLETED -

100.0% PROCESSED

580 ITERATIONS

116 ANSWERS

SEARCH TIME: 00.00.01

116 SEA SSS FUL L12 L13

=> file medline, caplus, wpids, uspatfull

=> s 113

SAMPLE SEARCH INITIATED 13:22:37 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED -

O TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

ONLINE \*\*COMPLETE\*\* FULL FILE PROJECTIONS:

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

O TO O O TO

PROJECTED ANSWERS:

12 L13 L14 ·

=> s 114 and peptide

10 L14 AND PEPTIDE

=> d 115 1-10 ibib, abs, hitstr

L15 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN 2006:684408 CAPLUS Full-text

NOT PRIOZ ART

ACCESSION NUMBER:

146:179191 DOCUMENT NUMBER:

TITLE:

A method for rapid protease substrate evaluation and

optimization

AUTHOR (S):

SOURCE:

Kozlov, Igor A.; Melnyk, Peter C.; Zhao, Chanfeng;

Hachmann, John P.; Shevchenko, Veronika; Srinivasan,

Anu; Barker, David L.; Lebl, Michal

CORPORATE SOURCE:

Illumina, Inc., San Diego, CA, 92121-1975, USA Combinatorial Chemistry & High Throughput Screening

(2006), 9(6), 481-487

CODEN: CCHSFU; ISSN: 1386-2073

Bentham Science Publishers Ltd.

PUBLISHER:

Journal

DOCUMENT TYPE:

We have developed a high throughput assay for the measurement of protease English LANGUAGE: activity in solution This technol. will accelerate research in functional AB proteomics and enable biologists to streamline protease substrate evaluation and optimization. The peptide sequences that serve as protease substrates in this assay are labeled on the carboxy terminus with a biotin moiety and a fluorescent tag is attached to the amino terminus. Protease cleavage causes the biotin containing fragment to be detached from the labeled peptide fragment. Following the protease treatment, all biotin containing species (uncleaved substrates and the cleaved carboxy-terminal fragment of the substrate) are removed by incubation with streptavidin beads. The cleaved fluorescently labeled amino-terminal part of the substrate remains in solution The measured fluorescence intensity of the solution is directly proportional to the activity of the protease. This assay was validated using trypsin,

chymotrypsin, caspase-3, subtilisin-A, enterokinase and tobacco etch virus protease.

IT

921939-51-7D, fluorescein labeled 921939-53-9D, fluorescein labeled 921939-55-1D, fluorescein labeled 921939-56-2D, fluorescein labeled 921939-57-3D, fluorescein labeled 921939-58-4D, fluorescein labeled 921939-59-5D, fluorescein labeled 921939-60-8D, fluorescein labeled 921939-61-9D, fluorescein labeled 921939-62-0D, fluorescein labeled 921939-63-1D, fluorescein labeled 921939-64-2D, fluorescein labeled 921939-65-3D, fluorescein labeled 921939-66-4D, fluorescein labeled 921939-67-5D, fluorescein labeled 921939-68-6D, fluorescein labeled 921939-69-7D, fluorescein labeled 921939-70-0D, fluorescein labeled 921939-71-1D, fluorescein labeled 921939-72-2D, fluorescein labeled 921939-73-3D, fluorescein labeled 921939-74-4D, fluorescein labeled 921939-75-5D, fluorescein labeled 921939-76-6D, fluorescein labeled 921939-77-7D, fluorescein labeled 921939-78-8D, fluorescein labeled 921939-79-9D, fluorescein labeled 921939-80-2D, fluorescein labeled 921939-81-3D, fluorescein labeled 921939-82-4D, fluorescein labeled 921939-83-5D, fluorescein labeled 921939-84-6D, fluorescein labeled 921939-85-7D, fluorescein labeled 921939-86-8D, fluorescein labeled 921939-87-9D, fluorescein labeled 921939-88-0D, fluorescein labeled 921939-89-1D, fluorescein labeled 921939-90-4D, fluorescein labeled 921939-91-5D, fluorescein labeled 921939-92-6D, fluorescein labeled 921939-93-7D, fluorescein labeled 921939-94-8D, fluorescein labeled 921939-95-9D, fluorescein labeled 921939-96-0D, fluorescein labeled 921939-97-1D, fluorescein labeled 921939-98-2D, fluorescein labeled 921939-99-3D, fluorescein labeled 921940-00-3D, fluorescein labeled 921940-01-4D, fluorescein labeled 921940-02-5D, fluorescein labeled 921940-03-6D, fluorescein labeled 921940-05-8D, fluorescein labeled 921940-07-0D, fluorescein labeled 921940-09-2D, fluorescein labeled 921940-11-6D, fluorescein labeled 921940-13-8D, fluorescein labeled 921940-14-9D, fluorescein labeled 921940-15-0D, fluorescein labeled 921940-16-1D, fluorescein labeled 921940-17-2D, fluorescein labeled 921940-18-3D, fluorescein labeled 921940-19-4D, fluorescein labeled 921940-20-7D, fluorescein labeled 921940-21-8D, fluorescein labeled 921940-22-9D, fluorescein labeled 921940-23-0D, fluorescein labeled 921940-24-1D, fluorescein labeled 921940-25-2D, fluorescein labeled 921940-26-3D, fluorescein labeled 921940-27-4D, fluorescein labeled 921940-28-5D, fluorescein labeled 921940-29-6D, fluorescein labeled 921940-30-9D, fluorescein labeled 921940-31-0D, fluorescein labeled 921940-32-1D, fluorescein labeled 921940-33-2D, fluorescein labeled 921940-34-3D, fluorescein labeled 921940-35-4D, fluorescein labeled 921940-36-5D, fluorescein labeled 921940-37-6D, fluorescein labeled 921940-38-7D, fluorescein labeled 921940-39-8D, fluorescein labeled 921940-40-1D, fluorescein labeled 921940-41-2D, fluorescein labeled 921940-42-3D, fluorescein labeled 921940-43-4D, fluorescein labeled 921940-44-5D, fluorescein labeled 921940-45-6D, fluorescein labeled 921940-46-7D,
fluorescein labeled 921940-47-8D, fluorescein labeled
921940-48-9D, fluorescein labeled 921940-49-0D,
fluorescein labeled
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);
ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (substrate; high throughput method for rapid proteinase substrate
 evaluation and optimization)

RN 921939-51-7 CAPLUS

CN

INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & S & S \\
\hline
 & H & S \\
\hline
 & CH_2) 4 & H & CH_2) 3
\end{array}$$

#### PAGE 1-B

#### PAGE 1-C

RN

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

RN 921939-55-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-B

PAGE 1-C

RN 921939-56-2 CAPLÜS CN INDEX NAME NOT YET ASSIGNED

RN 921939-57-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-58-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-59-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \xrightarrow{H} \xrightarrow{H} S \xrightarrow{(CH_2)} 3 \xrightarrow{(CH_2)} 3$$

RN 921939-60-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-61-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow R \longrightarrow S \longrightarrow S \longrightarrow CCH_2) 3 \longrightarrow$$

RN 921939-62-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{R$$

RN 921939-63-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-64-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-65-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-66-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-67-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{R$$

PAGE 1-A

RN 921939-68-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-69-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-70-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-71-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-72-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-73-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-74-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-75-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-76-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-77-7 CAPLUS, CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-78-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-79-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-80-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-81-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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RN 921939-82-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 921939-83-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-84-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-85-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

RN 921939-86-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-87-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-88-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-89-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-90-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-91-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-92-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-93-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-94-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$-(CH_2)_3$$

$$OMe$$

RN 921939-95-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-96-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-97-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-98-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 921939-99-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$0 \xrightarrow{H} \xrightarrow{R} \xrightarrow{S} (CH_2) \xrightarrow{A} \xrightarrow{Q} (CH_2) \xrightarrow{S} 0$$

RN 921940-00-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-01-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-02-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-03-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-05-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-07-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-09-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 921940-11-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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PAGE 1-C

RN 921940-13-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-14-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-15-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-16-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

RN 921940-17-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-18-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-B

RN 921940-19-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-20-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-21-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-22-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN

CN

$$0 \xrightarrow{H} \xrightarrow{R} \xrightarrow{S} (CH_2) \xrightarrow{q} (CH_2) \xrightarrow{3} 0$$

RN 921940-24-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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921940-25-2 CAPLUS RNCN

INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

RN 921940-26-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

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RN 921940-27-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-28-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-29-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-30-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

921940-31-0 CAPLUS RN

INDEX NAME NOT YET ASSIGNED CN

Absolute stereochemistry.

PAGE 2-B

RN 921940-32-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-33-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

-NH $_2$ 

RN 921940-34-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

RN 921940-35-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-36-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H$$

$$S$$

$$S$$

$$C(CH2) 4 \longrightarrow H$$

$$C(CH2) 3 \longrightarrow 0$$

$$O$$

RN 921940-37-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-38-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-39-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-40-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-A

RN 921940-41-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-42-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-43-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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RN 921940-44-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-45-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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PAGE 2-B

RN 921940-46-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

RN 921940-47-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

RN 921940-48-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

RN 921940-49-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS 31 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:87255 CAPLUS Full-text

UT PRIOR ALT

144:331679 DOCUMENT NUMBER:

TITLE:

Orthogonally Protected Cyclo- $\beta$ -tetrapeptides as

Solid-Supported Scaffolds for the Synthesis of

Glycoclusters

AUTHOR (S):

Virta, Pasi; Karskela, Marika; Loennberg, Harri

Department of Chemistry, University of Turku, Turku, CORPORATE SOURCE:

FIN-20014, Finland

SOURCE:

Journal of Organic Chemistry (2006), 71(5), 1989-1999

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 144:331679

Two novel peptide scaffolds, viz. cyclo[(N $\alpha$ -Alloc)Dpr-  $\beta$ -Ala-(N $\alpha$ -Fmoc)Dpr- $\beta$ -AB Ala] and cyclo[(N $\alpha$ -Alloc)Dpr-  $\alpha$ -azido- $\beta$ -aminopropanoyl-(N $\alpha$ -Fmoc)Dpr- $\beta$ -Ala], composed of orthogonally protected 2,3-diaminopropanoyl (Dpr) and  $\beta$ -alanyl residues, have been described. Fmoc chemical on a backbone amide linker derivatized resin has been used for the chain assembly. Selective removal of the 4-methyltrityl (Mtt) and 1-methyl-1-phenylethyl protections (PhiPr) exposes the  $\beta$ -amino and carboxyl terminus, resp., and on-resin cyclization then gives the desired orthogonally protected cyclo- $\beta$ -tetrapeptides. The  $\alpha$ amino groups, bearing the Fmoc and Alloc protections and the azide mask, allow stepwise orthogonal derivatization of these solid-supported cyclo-\betatetrapeptide cores. This has been demonstrated by attachments of various sugar units [viz., acetyl- or toluoyl-protected carboxymethyl  $\alpha\text{-}D\text{-}glycopyranosides}$ and Me 6-0-(4-nitrophenoxycarbonyl)- $\alpha$ -D-glycopyranosides] to obtain diverse di- and trivalent glycoclusters. Acidolytic release (TFA) from the support, followed by conventional NaOMe-catalyzed transesterification or hydrazineinduced acyl substitution in DMF (41 and 42), gives the fully deprotected clusters as final products.

880637-91-2DP, polymer supported 880637-92-3DP, polymer TΤ supported 880637-93-4DP, polymer supported 880637-94-5DP

, polymer supported

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(orthogonally protected cyclo- $\beta$ -tetrapeptides as solid-supported scaffolds for synthesis of glycoclusters)

880637-91-2 CAPLUS ŔΝ

β-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-[[(4-CN methylphenyl) diphenylmethyl] amino] -L-alanyl- $\beta$ -alanyl-(2S) -2-[[(2propenyloxy)carbonyl]amino]-β-alanyl-N-[[4-[4-[(2-carboxyethyl)amino]- 4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]-, 41-(1-methyl-1-phenylethyl) ester (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

## PAGE 1-B

PAGE 2-A

y

RN

CN  $\beta$ -Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-[[(4-methylphenyl)diphenylmethyl]amino]-L-alanyl-(2S)-2-azido- $\beta$ -alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]- $\beta$ -alanyl-N-[[4-[4-[(2-carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]-, 41-(1-methyl-1-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 880637-93-4 CAPLUS

CN β-Alanine, 3-amino-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanylβ-alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-N-[[4-[4-[(2-carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 880637-94-5 CAPLUS

CN  $\beta$ -Alanine, 3-amino-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-(2S)-2-azido- $\beta$ -alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]- $\beta$ -alanyl-N-[[4-[4-[(2-carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $OMe$ 
 $OM$ 

PAGE 1-B

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS 48 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO US 2004265949 PRIORITY APPLN. INFO.:	KIND DATE		APPLICATION NO.	DATE
	A1	20041230	US 2003-607175 US 2003-607175	20030626 20030626

MARPAT 142:94138 OTHER SOURCE(S):

The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or

peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n  $\geq$  1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl. 816430-03-2P 816430-04-3DP, resin-bound 816430-04-3P 816430-05-4DP, resin-bound 816430-06-5DP, resin-bound 816430-06-5P 816430-07-6DP, resin-bound 816430-08-7DP, resin-bound 816430-08-7P 816430-09-8DP, resin-bound 816430-09-8P 816430-10-1DP, resin-bound 816430-11-2DP, resin-bound 816430-11-2P 816430-12-3DP, resin-bound 816430-12-3P 816430-14-5DP, resin-bound RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (solid-phase synthesis of C-terminally labeled peptides)

816430-03-2 CAPLUS RN

IT

Butanoic acid, 4-[3-methoxy-4-[[[2-[[(4-methoxyphenyl)diphenylmethyl]amino CN ]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

$$O = (CH_2)_3 = CO_2H$$
 $O = (CH_2)_3 = CO_2H$ 
 $O = (CH_2)_3 = CO_2H$ 
 $O = (CH_2)_3 = CO_2H$ 
 $O = (CH_2)_3 = CO_2H$ 

816430-04-3 CAPLUS RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4-CN methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

RN 816430-04-3 CAPLUS

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]
(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN

CN

816430-05-4 CAPLUS
Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9H-

fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 816430-06-5 CAPLUS

CN Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

MeO 
$$CH_2$$
  $CH_2$   $CH_$ 

RN 816430-06-5 CAPLUS
CN Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-y1)acety1][2-[[(4-methoxyphenyl)diphenylmethy1]amino]ethy1]amino]methy1]ph enoxy]- (9CI) (CA INDEX NAME)

RN 816430-07-6 CAPLUS
CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][(
7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3methoxyphenoxy]- (9CI) (CA INDEX NAME)

MeO 
$$CH_2$$
  $CH_2$   $CH_$ 

816430-08-7 CAPLUS RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]et CN hyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

816430-08-7 CAPLUS RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]et CNhyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

816430-09-8 CAPLUS RNButanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-CN

methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

$$NO_2$$
 $NO_2$ 
 $NO_2$ 
 $NO_2$ 
 $Ph$ 
 $OMe$ 
 $OMe$ 

816430-09-8 CAPLUS RN

Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-CNmethoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

$$NO_2$$
 $NO_2$ 
 $NO_2$ 
 $NO_2$ 
 $NO_2$ 
 $Ph$ 
 $OMe$ 
 $OMe$ 

816430-10-1 CAPLUS RN

Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-CNylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA. INDEX NAME)

$$O_2N$$
 $N_- CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $N_+ CH_2$ 
 $N_+ CH_2$ 

RN 816430-11-2 CAPLUS

CN

CN

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 816430-11-2 CAPLUS

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-

naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 816430-12-3 CAPLUS
CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-12-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) CNNAME)

PAGE 1-A

SO3H

NH

$$CH_2$$
 $CH_2$ 
 $CH_2$ 

PAGE 2-A

816430-14-5 CAPLUS RN

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-CNoxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

2004:1068183 CAPLUS Full-text ACCESSION NUMBER:

142:177109

A solid phase linker strategy for the direct synthesis DOCUMENT NUMBER: TITLE:

of EDANS-labeled peptide substrates

Beythien, Joerg; White, Peter D.

Novabiochem, Merck Biosciences AG, Laufelfingen, AUTHOR (S): CORPORATE SOURCE:

CH-4448, Switz.

Tetrahedron Letters (2004), Volume Date 2005, 46(1), SOURCE:

101-104

CODEN: TELEAY; ISSN: 0040-4039

Elsevier B.V. PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

CASREACT 142:177109

A novel linker strategy for the efficient synthesis of peptides C-terminally OTHER SOURCE(S): labeled with the EDANS [EDANS = 1-Naphthalenesulfonic acid, 5-[(2-AB

aminoethyl)amino]-] fluorophore is described. Using this support, FRET peptide substrates bearing EDANS/Dabcyl [Dabcyl = benzoic acid, 4-[[4-(dimethylamino)phenyl]azo]-] fluorescent donor/acceptor groups can be readily prepared using standard Fmoc (Fmoc = 9- fluorenylmethyloxycarbonyl) solid phase methods.

IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of EDANS-labeled peptides)

816430-11-2 CAPLUS RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-(CA INDEX NAME) naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) CN

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 9 REFERENCE COUNT:

L15 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN 2002:688514 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto

compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 33 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

TANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6448058	B1	20020910	US 1998-151608 US 1997-58744P P	19980911 19970912

PRIORITY APPLN. IN

OTHER SOURCE(S):

MARPAT 137:201610

Methods of preparing combinatorial libraries of mercapto (thiol) compds. HSCH2CHR3CO(NR4CHR5CO)mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or heterocyclyl] are disclosed. The invention also provides for screening the mercapto compds. for bioactive compds., in particular, for inhibitors of matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCO-Val-prolinol were prepared by the solid-phase method and showed IC50 values < 10  $\mu\text{M}$  against peptide deformylase.

454466-70-7DP, resin-bound 454466-71-8DP, resin-bound IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 CAPLUS RN

Butanoic acid, 4-[4-[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$Ph_3C$$
 $OMe$ 
 $i-Pr$ 
 $OMe$ 
 $OMe$ 

454466-71-8 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L15 ANSWER 6 OF 10

ACCESSION NUMBER:

2001:627227 CAPLUS Full-text

DOCUMENT NUMBER:

135:180955

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong

INVENTOR(S): PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 958,638.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	1	DATE
US 2001053555 US 6541276 WO 9957097	A2	20011220 20030401 19991111	US 1998-74035 US 1997-958638 WO 1999-US9996	:	19980506 19971027 19990506
W: AE, AL, AM, DE, DK, EE, KE, KG, KP, MW, MX, NO, TR, TT, UA,	AT, AU ES, FI KR, KZ	I, GB, GE, GH Z, LC, LK, LR I. PT. RO. RU	, BG, BR, BY, CA, C , GM, HR, HU, ID, I , LS, LT, LU, LV, M , SD, SE, SG, SI, S , ZA, ZW, AM, AZ, H	MD, MG SK, SL	, MK, MN, , TJ, TM,
ES, FI, FR,	GB, GF GN, GV A	R, IE, IT, LU W. ML, MR, NE	I, UG, ZW, AT, BE, C I, MC, NL, PT, SE, I I, SN, TD, TG AU 1999-39748 US 1996-29788P US 1997-47468P US 1997-958638	P P P A2	19990506 19961028 19970523 19971027
		100055	US 1998-74035 WO 1999-US9996		19980506 19990506

MARPAT 135:180955 OTHER SOURCE(S):

Hydroxylamine compds. HONHCOCHR1NR2COR3, HONHCOCHR1NR2CONR3R4, and HONHCOCHR1CHR2CONR3R4 (R1-R4 = H, alkyl, heteroalkyl, aryl, heteroaryl, AΒ heterocyclyl and (non)naturally occurring amino acid side chains) or stereoisomers, protected derivs., or salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bu-

i)CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64  $\mu$ M/mL (S. aureus), resp.].

249535-77-1DP, resin-bound 249535-78-2DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 CAPLUS RN

IT

Butanoic acid, 4-[4-[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-2yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS 57 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1999:723015 CAPLUS Full-text

DOCUMENT NUMBER:

131:322926

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong

PATENT ASSIGNEE(S):

Versicor, Inc., USA PCT Int. Appl., 122 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT 1	NO.	K	IND DATE		APPL]	CATION NO.		DATE
 WO 9957		-		91111	WO 19	999-US9996		19990506
WO 9957 W:	AE, AL, DE, DK, KE, KG,	AM, A EE, E KP, K	T, AU, AZ, S, FI, GB, R, KZ, LC,	BA, I GE, ( LK,	GH, GM, LR, LS, RU, SD,	BR, BY, CA, HR, HU, ID, LT, LU, LV, SE, SG, SI,	MD, MG	N, 15, 5P, G, MK, MN, L, TJ, TM,
RW:	TR, TT, RU, TJ, GH, GM, ES. FI.	UA, U TM KE, L FR, G	G, US, UZ S, MW, SD GB, GR, IE GN, GW, ML	, VN, , SL, , IT, , MR,	YU, ZA, SZ, UG, LU, MC, NE, SN,	ZW, AM, AZ, ZW, AT, BE, NL, PT, SE, TD, TG	CH, C	G, KZ, MD, Y, DE, DK, J, CF, CG,
US 6281 AU 9939 PRIORITY APF	245 748		B1 200	10828 91123	US 1 AU 1 US 1 US 1 US 1 US 1	998-74035 999-39748 998-74035 996-29788P 997-47468P 997-958638 999-US9996	A P P A2 W	19961028 19970523

MARPAT 131:322926 OTHER SOURCE(S):

combinatorial libraries)

Hydroxylamine compds. HONHCOCH2CH(CH2CH2-X-Me)CO-L10-CO-R2 [X = CH2, S; L10 = NHCHMe, NHCH(Bu-i), NHCH(CH2)Ph and related residues of optically active amino acids; R2 = NH2, piperidino, morpholino, 4-methylpiperazino, etc.] and all stereoisomers, protected derivs., and salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bui) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64  $\mu$ M/mL (S. aureus), resp.]. 249535-77-1DP, resin-bound 249535-78-2DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (solid-phase synthesis of hydroxylamine compds. and derivs. and

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl] [(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN

methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-2yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

NUMBER	KIND	DATE

PATENT INFORMATION:

20041230 US 2004265949 A1

APPLICATION INFO.:

(10) A1 -20030626 US 2003-607175

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS:

9

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to AB be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 816430-03-2P 816430-04-3DP, resin-bound

816430-04-3P 816430-05-4DP, resin-bound

816430-06-5DP, resin-bound 816430-06-5P

816430-07-6DP, resin-bound 816430-08-7DP, resin-bound

816430-08-7P 816430-09-8DP, resin-bound

816430-09-8P 816430-10-1DP, resin-bound

816430-11-2DP, resin-bound 816430-11-2P

816430-12-3DP, resin-bound 816430-12-3P

816430-14-5DP, resin-bound

(solid-phase synthesis of C-terminally labeled peptides)

816430-03-2 USPATFULL RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[[(4-methoxyphenyl)diphenylmethyl]amino CN]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

816430-04-3 USPATFULL RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-04-3 USPATFULL

RN

CN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

816430-05-4 USPATFULL RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN(9CI) (CA INDEX NAME)

816430-06-5 USPATFULL RN

Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4yl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl] CNphenoxy] - (9CI) (CA INDEX NAME)

PAGE 1-A

RN 816430-06-5 USPATFULL
CN Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-y1)acety1][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]
phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN CN

PAGE 1-A

MeO 
$$CH_2$$
  $CH_2$   $O$   $CCH_2$ )  $3-CO_2H$   $O$   $CH_2$   $CH_2$ 

PAGE 2-A

816430-08-7 USPATFULL RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino] CN ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN `816430-09-8 USPATFULL

CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

RN 816430-09-8 USPATFULL

CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy](9CI) (CA INDEX NAME)

RN 816430-10-1 USPATFULL

CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

816430-11-2 USPATFULL RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME) CN

816430-11-2 USPATFULL RN

CN

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

816430-12-3 USPATFULL

RNButanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-CNnaphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 816430-12-3 USPATFULL

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl] [2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-14-5 USPATFULL

RN

CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

USPATFULL on STN L15 ANSWER 9 OF 10

ACCESSION NUMBER:

2002:230828 USPATFULL Full-text

TITLE:

Methods for solid phase synthesis of mercapto compounds and derivatives, combinatorial libraries thereof and

(9)

compositions obtained thereby

INVENTOR(S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States Versicor, Inc., Fremont, CA, United States (U.S.

DATE

PATENT ASSIGNEE(S):

corporation)

KIND DATE NUMBER В1 20020910 US 6448058 19980911 US 1998-151608

PATENT INFORMATION: APPLICATION INFO.:

19970912 (60) US 1997-58744P

PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT:

Utility GRANTED

PRIMARY EXAMINER:

Weber, Jon P. Morrison & Foerster LLP

NUMBER

LEGAL REPRESENTATIVE: 3 NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1 Drawing Figure(s); 1 Drawing Page(s) NUMBER OF DRAWINGS:

1726 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT. Methods of preparing combinatorial libraries of mercapto (thiol) compounds them and compositions obtained therefrom are disclosed. The compounds are AΒ synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

454466-70-7DP, resin-bound 454466-71-8DP, resin-bound (solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-RN methyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CN

dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

454466-71-8 USPATFULL RNButanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

USPATFULL on STN L15 ANSWER 10 OF 10

ACCESSION NUMBER:

2001:142380 USPATFULL Full-text

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries

thereof

INVENTOR(S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States Versicor, Inc., Fremont, CA, United States (U.S.

PATENT ASSIGNEE(S):

corporation)

DATE KIND NUMBER 20010828 US 6281245

PATENT INFORMATION: APPLICATION INFO.:

19980506 (9) US 1998-74035

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1997-958638, filed

on 27 Oct 1997

DATE NUMBER 19970523 (60)

PRIORITY INFORMATION:

US 1997-47468P

19961028 (60) US 1996-29788P

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Weddington, Kevin E. Morrison & Foerster LLP

LEGAL REPRESENTATIVE:

27

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

34 Drawing Figure(s); 34 Drawing Page(s)

LINE COUNT:

2485

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

249535-77-1DP, resin-bound 249535-78-2DP, resin-bound (solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 USPATFULL RN

CN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 USPATFULL RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-CN2-yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## => file registry

Uploading C:\Program Files\Stnexp\Queries\10607175\_NEWgenusfmoc.str

chain nodes : 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 ring nodes : 1 2 3 4 5 6 24 25 26 27 28 29 30 31 32 33 34 35 36 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 16-17 17-18 18-19 18-20 19-21 19-22 21-23 23-24 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 17-18 18-19 19-21 19-22 21-23. exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 26-27 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 isolated ring systems : containing 1 : 24 :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS Match level : 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom

## L16 STRUCTURE UPLOADED

=> s 116 full

FULL SEARCH INITIATED 13:26:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

L17 6 SEA SSS FUL L16

=> file medline, caplus, wpids, uspatfull

=> s 117

SAMPLE SEARCH INITIATED 13:27:18 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L18 7 L17

=> d 118 1-7 ibib, abs, hitstr

L18 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:2014 CAPLUS Full-text

DOCUMENT NUMBER: 142:94138

TITLE: Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S): White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004265949	A1	20041230	US 2003-607175	20030626
PRIORITY APPLN. INFO.:			US 2003-607175	20030626

OTHER SOURCE(S): MARPAT 142:94138

The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more non-

neighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n  $\geq$  1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl. 816430-05-4DP, resin-bound 816430-07-6DP, resin-bound 816430-10-1DP, resin-bound 816430-14-5DP, resin-bound RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 CAPLUS RN

IT

CN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy) carbonyl] amino] ethyl] amino] methyl] -3-methoxyphenoxy] -(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-07-6 CAPLUS

RN

CN

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][( 7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3methoxyphenoxy] - (9CI) (CA INDEX NAME)

RN 816430-10-1 CAPLUS

CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-RN[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-CN oxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 2 OF 7

ACCESSION NUMBER:

2002:688514 CAPLUS Full-text

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto

compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

INVENTOR (S):

Versicor, Inc., USA

PATENT ASSIGNEE(S):

SOURCE:

U.S., 33 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PM1 THI COL			APPLICATION NO.	DATE
PATENT NO.  US 6448058	KIND  B1	20020910		19980911 19970912

PRIORITY APPLN. INFO.:

MARPAT 137:201610

Methods of preparing combinatorial libraries of mercapto (thiol) compds. OTHER SOURCE(S): HSCH2CHR3CO(NR4CHR5CO) mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or heterocyclyl] are disclosed. The invention also provides for screening the mercapto compds. for bioactive compds., in particular, for inhibitors of

matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCO-Val-prolinol were prepared by the solid-phase method and showed IC50 values < 10  $\mu M$  against peptide deformylase.

454466-70-7DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3methyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CNdimethoxyphenoxy] - (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

$$Ph_3C$$

OMe

 $i-Pr$ 

OMe

 $i-Pr$ 

OMe

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2001:627227 CAPLUS Full-text

DOCUMENT NUMBER:

135:180955

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 958,638.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281245 US 2001053555 US 6541276	B1 A1 B2 A2	20010828 20011220 20030401 19991111	US 1998-74035 US 1997-958638 WO 1999-US9996	19980506 19971027 19990506
DE, DK, EE, KE, KG, KP, MW, MX, NO, TR, TT, UM,	A3 AT, AU ES, FI KR, KZ NZ, PI UG, US	20000309  J. AZ, BA,  J. GB, GE,  J. LC, LK,  J. PT, RO,  J. UZ, VN,	BB, BG, BR, BY, CA, CH GH, GM, HR, HU, ID, II LR, LS, LT, LU, LV, MI RU, SD, SE, SG, SI, SI YU, ZA, ZW, AM, AZ, B SZ, UG, ZW, AT, BE, C	D, MG, MK, MN, K, SL, TJ, TM, Y, KG, KZ, MD,

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 19990506 AU 1999-39748 19991123 Α AU 9939748 19961028 US 1996-29788P PRIORITY APPLN. INFO.: P 19970523 US 1997-47468P A2 19971027 US 1997-958638 A 19980506 US 1998-74035 19990506 WO 1999-US9996

MARPAT 135:180955 OTHER SOURCE(S):

Hydroxylamine compds. HONHCOCHR1NR2COR3, HONHCOCHR1NR2CONR3R4, and HONHCOCHR1CHR2CONR3R4 (R1-R4 = H, alkyl, heteroalkyl, aryl, heteroaryl, heterocyclyl and (non)naturally occurring amino acid side chains) or stereoisomers, protected derivs., or salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bui) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64  $\mu$ M/mL (S. aureus), resp.].

249535-77-1DP, resin-bound IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS 57 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L18 ANSWER 4 OF 7

ACCESSION NUMBER:

1999:723015 CAPLUS Full-text

DOCUMENT NUMBER:

131:322926

TITLE:

SOURCE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong

INVENTOR (S): PATENT ASSIGNEE(S):

Versicor, Inc., USA PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

WO 9957097	PA <sup>r</sup>	TENT I	NO.			KINI	) ]	DATE		1	APPL	ICAT:	CON 1	10.		D	ATE	
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  US 6281245 AU 9939748 A 19991123 AU 1999-39748 PRIORITY APPLN. INFO::  US 1996-29788P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A2 19971027	WO	9957	 097							7	WO 1	999-T	JS999	96		1	9990!	506
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TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  US 6281245 AU 9939748 A 19991123 AU 1999-39748 PRIORITY APPLN. INFO::  US 1998-74035 AU 1999-39748 PRIORITY APPLN. INFO::  US 1998-74035 A 19980506 US 1998-74035 A 19980506 US 1997-47468P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A2 19971027			MW.	MX.	NO.	NZ,	ΡL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  US 6281245 AU 9939748 A 19991123 AU 1999-39748 PRIORITY APPLN. INFO::  US 1998-74035 A 19980506 US 1998-74035 A 19980506 US 1996-29788P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A 19971027			TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  US 6281245  AU 9939748  PRIORITY APPLN. INFO.:  US 1998-74035  US 1998-74035  US 1998-74035  US 1998-74035  A 19980506  US 1996-29788P  P 19961028  US 1997-47468P  P 19970523  US 1997-958638  A 19971027			RU,	TJ,	TM												_	
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  US 6281245  AU 9939748  PRIORITY APPLN. INFO.:  US 1998-74035  US 1998-74035  US 1998-74035  US 1998-74035  A 19980506  US 1996-29788P  P 19961028  US 1997-47468P  P 19970523  US 1997-958638  A 19971027		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,
US 6281245 B1 20010828 US 1998-74035 19980506 AU 9939748 A 19991123 AU 1999-39748 19990506 PRIORITY APPLN. INFO.: US 1998-74035 A 19980506 US 1996-29788P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A2 19971027			ES,	FI,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,
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AU 9939748 A 19991123 AU 1999-39748 19990506 PRIORITY APPLN. INFO.: US 1998-74035 A 19980506 US 1996-29788P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A2 19971027	us	6281	245			В1		2001	0828		US 1	998-	7403	5		2	.9980	506
PRIORITY APPLN. INFO.:  US 1998-74035 US 1996-29788P P 19961028 US 1997-47468P P 19970523 US 1997-958638 A2 19971027						Α		1999	1123		AU 1	999-	3974	8		-	19990	506
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WO 1999-US9996 W 19990506																A2 :	19971	027
											WO 1	999-	US99	96	1	<b>W</b> :	19990	506

OTHER SOURCE(S): MARPAT 131:322926

AB Hydroxylamine compds. HONHCOCH2CH(CH2CH2-X-Me)CO-L10-CO-R2 [X = CH2, S; L10 = NHCHMe, NHCH(Bu-i), NHCH(CH2)Ph and related residues of optically active amino acids; R2 = NH2, piperidino, morpholino, 4-methylpiperazino, etc.] and all stereoisomers, protected derivs., and salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bu-i)CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 μM/mL (S. aureus), resp.].

IT 249535-77-1DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

RN 249535-77-1 CAPLUS

CN Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 5 OF 7 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE
US	2004265949	A1	20041230
TIC	2003-607175	A1	20030626

PATENT INFORMATION: APPLICATION INFO.:

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

US 2003-607175

LEGAL REPRESENTATIVE:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

(10)

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS:

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

9

1

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to AB be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-05-4DP, resin-bound 816430-07-6DP, resin-bound

816430-10-1DP, resin-bound 816430-14-5DP, resin-bound

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 USPATFULL RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9H-CN fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

RN 816430-07-6 USPATFULL
CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][(
7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 816430-10-1 USPATFULL
CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI)
(CA INDEX NAME)

PAGE 2-A

RN 816430-14-5 USPATFULL

CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1oxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 6 OF 7 USPATFULL on STN

ACCESSION NUMBER:

2002:230828 USPATFULL Full-text

TITLE:

Methods for solid phase synthesis of mercapto compounds and derivatives, combinatorial libraries thereof and

compositions obtained thereby

Patel, Dinesh V., Fremont, CA, United States INVENTOR(S):

Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States

Versicor, Inc., Fremont, CA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

DATE KIND NUMBER

-----B1 20020910 US 6448058

PATENT INFORMATION: 19980911 (9) US 1998-151608 APPLICATION INFO.:

> DATE NUMBER \_\_\_\_\_

19970912 (60) US 1997-58744P PRIORITY INFORMATION:

Utility DOCUMENT TYPE: GRANTED

FILE SEGMENT: Weber, Jon P. PRIMARY EXAMINER:

Morrison & Foerster LLP LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1 Drawing Figure(s); 1 Drawing Page(s) NUMBER OF DRAWINGS:

1726 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of preparing combinatorial libraries of mercapto (thiol) compounds them and compositions obtained therefrom are disclosed. The compounds are synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

454466-70-7DP, resin-bound

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 USPATFULL

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-RNmethyl-1-oxobutyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CN dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$Ph_3C$$
  $S$   $OMe$   $i-Pr$   $O$   $OMe$   $OMe$ 

ACCESSION NUMBER:

2001:142380 USPATFULL Full-text

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries

thereof

INVENTOR (S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States

PATENT ASSIGNEE(S):

Versicor, Inc., Fremont, CA, United States (U.S.

corporation)

KIND DATE NUMBER

PATENT INFORMATION:

US 6281245

B1 20010828

APPLICATION INFO.:

US 1998-74035

19980506 (9)

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1997-958638, filed

on 27 Oct 1997

DATE NUMBER \_\_\_\_\_

PRIORITY INFORMATION:

US 1997-47468P 19970523 (60)

US 1996-29788P

19961028 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Weddington, Kevin E.

LEGAL REPRESENTATIVE:

Morrison & Foerster LLP

NUMBER OF CLAIMS:

27

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

34 Drawing Figure(s); 34 Drawing Page(s)

LINE COUNT:

2485

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, ΔŔ and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

249535-77-1DP, resin-bound

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 USPATFULL RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## => file registry

=>
Uploading C:\Program Files\Stnexp\Queries\10607175\_NEWgenusfmoc2.str

chain nodes : 7 8 9 10 11 12 13 14 15 16 17 18 20 21 22 23 ring nodes : chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-20 16-17 17-18 20-21 20-22 21-23 23-24 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-28-32 29-30 30-31 31-32 33-34 34-35 35-36 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 15-20 17-18 20-21 20-22 21-23 24-25 24-28 26-27 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 23-24 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-31-32 33-34 34-35 35-36 isolated ring systems : containing 1 :

### Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

20:CLASS 21:CLASS

22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

31:Atom 32:Atom

33:Atom 34:Atom 35:Atom 36:Atom

#### STRUCTURE UPLOADED L19

=> d 119 L19 HAS NO ANSWERS L19 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 119 full

FULL SEARCH INITIATED 13:30:01 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 177 TO ITERATE

177 ITERATIONS 100.0% PROCESSED

1 ANSWERS

0 ANSWERS

SEARCH TIME: 00.00.01

1 SEA SSS FUL L19 L20

=> file medline, caplus, wpids, uspatfull

=> s 120

SAMPLE SEARCH INITIATED 13:30:16 FILE 'WPIDS' SAMPLE SCREEN SEARCH COMPLETED -0 TO ITERATE

0 ITERATIONS

SEARCH TIME: 00.00.01

100.0% PROCESSED

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

OT O 0 PROJECTED ITERATIONS: O TO 0 PROJECTED ANSWERS:

2 L20 L21

## => d 121 1-2 ibib, abs

L21 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004265949 PRIORITY APPLN. INFO.:	A1	20041230	US 2003-607175 US 2003-607175	20030626 20030626

MARPAT 142:94138 OTHER SOURCE(S):

The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n  $\geq$  1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

L21 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

DATE KIND NUMBER -----US 2004265949 A1 PATENT INFORMATION: A1 20030626 (10)

APPLICATION INFO.:

20041230

DOCUMENT TYPE:

US 2003-607175 Utility

FILE SEGMENT: LEGAL REPRESENTATIVE: APPLICATION FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Page(s)

LINE COUNT: 1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of a mino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

### => file registry

=>
Uploading C:\Program Files\Stnexp\Queries\10607175\_NEWgenusfmoc3.str

chain nodes : 7 8 9 10 11 12 13 14 15 16 17 ring nodes : 25 26 27 28 29 30 31 32 1 2 3 4 5 6 23 24 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-19 16-17 19-20 19-21 20-22 22-23 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-27 24-25 24-32 25-26 25-35 26-27 26-27-31 28-29 29-30 30-31 32-33 33-34 34-35 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 15-19 19-20 19-21 20-22 23-24 23-27 25-26 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 22-23 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-32 25-35 26-27 26-28 27-31 28-29 29-30-31 32-33 33-34 34-35 isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS

20:CLASS 21:CLASS

22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

31:Atom 32:Atom

33:Atom 34:Atom 35:Atom

#### STRUCTURE UPLOADED L22

=> d 122 L22 HAS NO ANSWERS STR L22

Structure attributes must be viewed using STN Express query preparation.

=> s 122 full

FULL SEARCH INITIATED 13:33:20 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 165 TO ITERATE

165 ITERATIONS 100.0% PROCESSED

5 ANSWERS

SEARCH TIME: 00.00.01

5 SEA SSS FUL L22 L23

=> file medline, caplus, wpids, uspatfull

=> s 123

SAMPLE SEARCH INITIATED 13:33:35 FILE 'WPIDS' 0 TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

\*\*COMPLETE\*\* ONLINE FULL FILE PROJECTIONS:

\*\*COMPLETE\*\* BATCH

O TO PROJECTED ITERATIONS: O TO O

PROJECTED ANSWERS:

L24

5 L23

# => d 124 1-5 ibib, abs, hitstr

L24 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

as manual NO	KIND	DATE	APPLICATION NO.	DATE
PATENT NO.				·
US 2004265949	A1	20041230	US 2003-607175	20030626
PRIORITY APPLN. INFO.:			US 2003-607175	20030626

PRIORITY APPLN. INFO.:

MARPAT 142:94138

The invention relates to a solid-phase method for preparing C-terminally OTHER SOURCE(S): labeled peptides and building blocks to be used in this synthesis. building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a Thus, N-biotinyldouble or triple bond), and m, n are 0 or 1 with m + n  $\geq$  1. N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

816430-12-3DP, resin-bound 816430-12-3P TT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-12-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX CNNAME)

PAGE 2-A

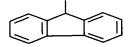
816430-12-3 CAPLUS

RN

CN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



CAPLUS COPYRIGHT 2007 ACS on STN L24 ANSWER 2 OF 5

ACCESSION NUMBER:

2002:688514 CAPLUS Full-text

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto

compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

INVENTOR(S):

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 33 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6448058	B1	20020910	US 1998-151608	19980911
PRIORITY APPLN. INFO.:			US 1997-58744P P	19970912

OTHER SOURCE(S):

MARPAT 137:201610

Methods of preparing combinatorial libraries of mercapto (thiol) compds. HSCH2CHR3CO(NR4CHR5CO)mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or heterocyclyl] are disclosed. The invention also provides for screening the mercapto compds. for bioactive compds., in particular, for inhibitors of matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCO-Val-prolinol were prepared by the solid-phase method and showed IC50 values < 10  $\mu\text{M}$  against peptide deformylase.

454466-68-3DP, resin-bound 454466-68-3P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-68-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-CN [(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

RN 454466-68-3 CAPLUS

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:303954 CAPLUS Full-text

DOCUMENT NUMBER:

137:278931

TITLE:

An alternative method for the preparation of

resin-bound secondary amines

AUTHOR (S):

Austin, Richard E.; Waldraff, Christian A.; Al-Obeidi,

Fahad

CORPORATE SOURCE:

Selectide, A Subsidiary of Aventis Pharmaceuticals

Inc., Tucson, AZ, 85737, USA

SOURCE:

Tetrahedron Letters (2002), 43(19), 3555-3556

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 137:278931

Difficulties encountered in the synthesis of resin-bound secondary amines attached via an acid-labile linker encouraged us to employ an alternative approach. A one-pot, scalable procedure for the synthesis of Fmoc-protected, amine/linker constructs is reported. These compds. can be efficiently coupled to a solid support and be used in the synthesis of carboxamides and sulfonamides. The advantages of the method are the elimination of problems associated with variability of alkoxybenzaldehyde resins, minimization of difficulties encountered in solid-phase reductive aminations, and a means for quantifying the resin loading of the secondary amine.

467215-57-2P 467215-58-3P 467215-59-4P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(alternative method for the preparation of resin-bound secondary amines)

467215-57-2 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl](2-CN methoxyethyl)amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

467215-58-3 CAPLUS RN

CN

Butanoic acid, 4-[4-[[[2-(2,4-dichlorophenyl)ethyl][(9H-fluoren-9ylmethoxy)carbonyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

RN 467215-59-4 CAPLUS

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][3-(4-morpholinyl)propyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: FILE SEGMENT:	US 2004265949 US 2003-607175 Utility APPLICATION	A1 A1	20041230 20030626	(10)

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 LEGAL REPRESENTATIVE:

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

9 1

NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least AB one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-12-3DP, resin-bound 816430-12-3P

(solid-phase synthesis of C-terminally labeled peptides)

816430-12-3 USPATFULL RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-CNnaphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI)

PAGE 1-A

PAGE 2-A

PAGE 2-A

USPATFULL on STN L24 ANSWER 5 OF 5

ACCESSION NUMBER:

2002:230828 USPATFULL Full-text

TITLE:

Methods for solid phase synthesis of mercapto compounds and derivatives, combinatorial libraries thereof and

compositions obtained thereby

INVENTOR(S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States

PATENT ASSIGNEE(S):

Versicor, Inc., Fremont, CA, United States (U.S.

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6448058	B1	20020910	
PATENT INFORMATION.	110 1998-151608		19980911	(9)

APPLICATION INFO.: DATE NUMBER

PRIORITY INFORMATION: DOCUMENT TYPE:

19970912 (60) US 1997-58744P

FILE SEGMENT: PRIMARY EXAMINER: Utility GRANTED

LEGAL REPRESENTATIVE:

Weber, Jon P.

Morrison & Foerster LLP

3 NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1 Drawing Figure(s); 1 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of preparing combinatorial libraries of mercapto (thiol) compounds AΒ them and compositions obtained therefrom are disclosed. The compounds are synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 454466-68-3DP, resin-bound 454466-68-3P

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-68-3 USPATFULL RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-CN [(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

454466-68-3 USPATFULL RNButanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-CN [(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI)

(CA INDEX NAME)

$$HO_2C-(CH_2)_{3-0}$$
 $MeO$ 
 $CH_2$ 
 $N-CH_2-CH_2-S-CPh_3$ 
 $CH_2$ 
 $CH_2$ 

```
=> d his
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(FILE 'HOME' ENTERED AT 13:13:23 ON 30 MAR 2007)

FILE 'REGISTRY' ENTERED AT 13:13:32 ON 30 MAR 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:14:28 ON 30 MAR 2007

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 13:16:24 ON 30 MAR 2007

L5 STRUCTURE UPLOADED

L6 0 S L5

L7 1 S L5 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:16:59 ON 30 MAR 2007

L8 2 S L7

FILE 'REGISTRY' ENTERED AT 13:17:26 ON 30 MAR 2007

L9 STRUCTURE UPLOADED

L10 1 S L9 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:20:17 ON 30 MAR 2007

L11 2 S L10

FILE 'REGISTRY' ENTERED AT 13:20:43 ON 30 MAR 2007

L12 STRUCTURE UPLOADED

L13 116 S L12 FULL

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L14 12 S L13

L15 10 S L14 AND PEPTIDE

FILE 'REGISTRY' ENTERED AT 13:25:22 ON 30 MAR 2007

STRUCTURE UPLOADED

L17 6 S L16 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:27:12 ON 30 MAR 2007

L18 7 S L17

FILE 'REGISTRY' ENTERED AT 13:28:13 ON 30 MAR 2007

L19 STRUCTURE UPLOADED

L20 1 S L19 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:30:10 ON 30 MAR 2007

L21 2 S L20

FILE 'REGISTRY' ENTERED AT 13:31:02 ON 30 MAR 2007

L22 STRUCTURE UPLOADED

L23 5 S L22 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:33:30 ON 30 MAR 2007

L24 5 S L23

=>

L16

---Logging off of STN---

\_\_\_\_\_

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.66	1416.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.34	-14.04

STN INTERNATIONAL LOGOFF AT 13:34:51 ON 30 MAR 2007